

- [0687] E. Wakeling, A. (1995). Use of pure antioestrogens to elucidate the mode of action of oestrogens. *Biochem Pharmacol* 49, 1545-1549.
- [0688] Fischer, E. S., Bohm, K., Lydeard, J. R., Yang, H., Stadler, M. B., Cavadini, S., Nagel, J., Serluca, F., Acker, V., Lingaraju, G. M., et al. (2014). Structure of the DDB1-CRBN E3 ubiquitin ligase in complex with thalidomide. *Nature* 512, 49-53.
- [0689] Galdeano, C., Gadd, M. S., Soares, P., Scaffidi, S., Van Molle, I., Birced, I., Hewitt, S., Dias, D. M., and Ciulli, A. (2014). Structure-guided design and optimization of small molecules targeting the protein-protein interaction between the von Hippel-Lindau (VHL) E3 ubiquitin ligase and the hypoxia inducible factor (HIF) alpha subunit with *in vitro* nanomolar affinities. *J Med Chem* 57, 8657-8663.
- [0690] Gulla, A., Hidemitsu, T., Bianchi, G., Fulciniti, M., Kemal Samur, M., Qi, J., Tai, Y. T., Harada, T., Morelli, E., Amodio, N., et al. (2017). Protein arginine methyltransferase 5 has prognostic relevance and is a druggable target in multiple myeloma. *Leukemia*.
- [0691] Hiroyuki Suda, Tomohisa Takita, Takaaki Aoyagi, and Umezawa, H. (1976). The structure of bestatin. *The Journal of Antibiotic* 20, 100-101.
- [0692] Hu, H., Qian, K., Ho, M. C., and Zheng, Y. G. (2016). Small Molecule Inhibitors of Protein Arginine Methyltransferases. *Expert Opin Investig Drugs* 25, 335-358.
- [0693] Indrawan J. McAlpine, John Tatlock, Joseph Billitti, John Braganza, Alexei Brooun, Deng Ya-Li, Brad Hirakawa, Kristen Jensen-Pergakes, Robert Kumpf, Wei Liu, et al. (2018). Abstract 4857: Discovery of PF-06855800, a SAM competitive PRMT5 inhibitor with potent antitumor activity. Paper presented at: AACR Annual Meeting (Chicago, Ill.).
- [0694] Ito, T., Ando, H., Suzuki, T., Ogura, T., Hotta, K., Imamura, Y., Yamaguchi, Y., and Handa, H. (2010). Identification of a primary target of thalidomide teratogenicity. *Science* 327, 1345-1350.
- [0695] Jin, Y., Zhou, J., Xu, F., Jin, B., Cui, L., Wang, Y., Du, X., Li, J., Li, P., Ren, R., et al. (2016). Targeting methyltransferase PRMT5 eliminates leukemia stem cells in chronic myelogenous leukemia. *J Clin Invest* 126, 3961-3980.
- [0696] Kanda, M., Shimizu, D., Fujii, T., Tanaka, H., Shibata, M., Iwata, N., Hayashi, M., Kobayashi, D., Tanaka, C., Yamada, S., et al. (2016). Protein arginine methyltransferase 5 is associated with malignant phenotype and peritoneal metastasis in gastric cancer. *Int J Oncol* 49, 1195-1202.
- [0697] Kaniskan, H. U., Konze, K. D., and Jin, J. (2015). Selective inhibitors of protein methyltransferases. *J Med Chem* 58, 1596-1629.
- [0698] Kaniskan, H. U., Martini, M. L., and Jin, J. (2017). Inhibitors of Protein Methyltransferases and Demethylases. *Chem Rev.*
- [0699] Kryukov, G. V., Wilson, F. H., Ruth, J. R., Paulk, J., Tsherniak, A., Marlow, S. E., Vazquez, F., Weir, B. A., Fitzgerald, M. E., Tanaka, M., et al. (2016). MTAP deletion confers enhanced dependency on the PRMT5 arginine methyltransferase in cancer cells. *Science* 351, 1214-1218.
- [0700] Lai, A. C., Toure, M., Hellerschmied, D., Salami, J., Jaime-Figueroa, S., Ko, E., Hines, J., and Crews, C. M. (2016). Modular PROTAC Design for the Degradation of Oncogenic BCR-ABL. *Angew Chem Int Ed Engl* 55, 807-810.
- [0701] Lu, J., Qian, Y., Altieri, M., Dong, H., Wang, J., Raina, K., Hines, J., Winkler, J. D., Crew, A. P., Coleman, K., et al. (2015). Hijacking the E3 Ubiquitin Ligase Cereblon to Efficiently Target BRD4. *Chemistry & biology* 22, 755-763.
- [0702] Maniaci, C., Hughes, S. J., Testa, A., Chen, W., Lamont, D. J., Rocha, S., Alessi, D. R., Romeo, R., and Ciulli, A. (2017). Homo-PROTACs: bivalent small-molecule dimerizers of the VHL E3 ubiquitin ligase to induce self-degradation. *Nat Commun* 8, 830.
- [0703] Marjon, K., Cameron, M. J., Quang, P., Clasquin, M. F., Mandley, E., Kunii, K., McVay, M., Choe, S., Kurnytsky, A., Gross, S., et al. (2016). MTAP Deletions in Cancer Create Vulnerability to Targeting of the MAT2A/PRMT5/RIOK1 Axis. *Cell Rep* 15, 574-587.
- [0704] Mavrakis, K. J., McDonald, E. R., 3rd, Schlabach, M. R., Billy, E., Hoffman, G. R., deWeck, A., Ruddy, D. A., Venkatesan, K., Yu, J., McAllister, G., et al. (2016). Disordered methionine metabolism in MTAP/CDKN2A-deleted cancers leads to dependence on PRMT5. *Science* 351, 1208-1213.
- [0705] Prabhu, L., Wei, H., Chen, L., Demir, O., Sandusky, G., Sun, E., Wang, J., Mo, J., Zeng, L., Fishel, M., et al. (2017). Adapting AlphaLISA high throughput screen to discover a novel small-molecule inhibitor targeting protein arginine methyltransferase 5 in pancreatic and colorectal cancers. *Oncotarget* 8, 39963-39977.
- [0706] Shimizu, D., Kanda, M., Sugimoto, H., Shibata, M., Tanaka, H., Takami, H., Iwata, N., Hayashi, M., Tanaka, C., Kobayashi, D., et al. (2017). The protein arginine methyltransferase promotes malignant phenotype of hepatocellular carcinoma cells and is associated with adverse patient outcomes after curative hepatectomy. *Int J Oncol* 50, 381-386.
- [0707] Sun, D., Li, Z., Rew, Y., Gribble, M., Bartberger, M. D., Beck, H. P., Canon, J., Chen, A., Chen, X., Chow, D., et al. (2014). Discovery of AMG 232, a potent, selective, and orally bioavailable MDM2-p53 inhibitor in clinical development. *J Med Chem* 57, 1454-1472.
- [0708] Tarighat, S. S., Santhanam, R., Frankhouser, D., Radomska, H. S., Lai, H., Anghelina, M., Wang, H., Huang, X., Alinari, L., Walker, A., et al. (2016). The dual epigenetic role of PRMT5 in acute myeloid leukemia: gene activation and repression via histone arginine methylation. *Leukemia* 30, 789-799.
- [0709] Varfolomeev, E., Blankenship, J. W., Wayson, S. M., Fedorova, A. V., Kayagaki, N., Garg, P., Zobel, K., Dynek, J. N., Elliott, L. O., Wallweber, H. J., et al. (2007). IAP antagonists induce autoubiquitination of c-IAPs, NF-kappaB activation, and TNFalpha-dependent apoptosis. *Cell* 131, 669-681.
- [0710] Vassilev, L. T., Vu, B. T., Graves, B., Carvajal, D., Podlaski, F., Filipovic, Z., Kong, N., Kammlott, U., Lukacs, C., Klein, C., et al. (2004). In vivo activation of the p53 pathway by small-molecule antagonists of MDM2. *Science* 303, 844-848.
- [0711] Vu, B., Wovkulich, P., Pizzolato, G., Lovey, A., Ding, Q., Jiang, N., Liu, J. J., Zhao, C., Glenn, K., Wen, Y., et al. (2013). Discovery of RG7112: A Small-Molecule MDM2 Inhibitor in Clinical Development. *ACS Med Chem Lett* 4, 466-469.